L6 HAS NO ANSWERS
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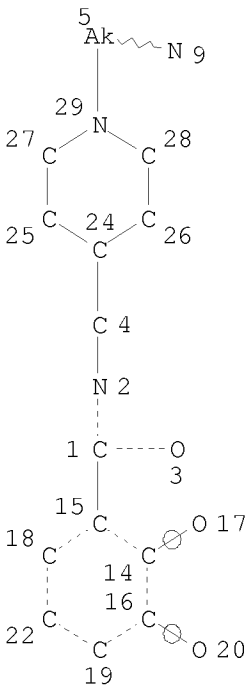

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

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FULL SEARCH INITIATED 15:05:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1283 TO ITERATE

100.0% PROCESSED 1283 ITERATIONS 194 ANSWERS
SEARCH TIME: 00.00.01

L7 194 SEA SSS FUL L6

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	360.86	361.07

FILE 'CAPLUS' ENTERED AT 15:06:03 ON 28 OCT 2008
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FILE LAST UPDATED: 27 Oct 2008 (20081027/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l7
L8   5 L7

=> d bib abs 1-5

L8   ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN   2005:34761 CAPLUS
DN   142:113915
TI   Preparation of heterocyclic substituted 4-(aminomethyl)piperidine
     benzamides as 5-HT4 antagonists
IN   Bosmans, Jean-Paul Rene Marie Andre; Gijsen, Henricus Jacobus Maria;
     Mevellec, Laurence Anne
PA   Janssen Pharmaceutica N. V., Belg.
SO   PCT Int. Appl., 46 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003124	A1	20050113	WO 2004-EP6273	20040610
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004254190	A1	20050113	AU 2004-254190	20040610
	CA 2528642	A1	20050113	CA 2004-2528642	20040610
	EP 1638961	A1	20060329	EP 2004-739776	20040610
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2006527714	T	20061207	JP 2006-515879	20040610
	US 20070197600	A1	20070823	US 2005-560486	20051212
PRAI	WO 2003-EP50240	A	20030619		
	WO 2004-EP6273	W	20040610		

OS MARPAT 142:113915
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1R2 = O(CH2)nO, n = 1-4; R1R2 = O(CH2)m, m = 2-5; R3 = H, halide, C1-C6-alkyl, C1-C6-alkoxy; R4 = H, halide, C1-C6-alkyl, C1-C6-alkoxy, cyanoalkyl, NH2, mono or di(C1-C6-alkyl)amino; R5 = H, C1-C6-alkyl, OR5 = 3- or 4-position; L = C1-C12-alkanediyl-R6, C1-C12-alkanediyl-X-R7; R6, R7 = heterocycle or heterocycle substituted with halide, OH, C1-C6-alkyl; heterocycle = morpholine, tetrazole, pyrazole, isoxazole, isothiazole, oxazolyl, thiazole, pyran, 2,4-dioxoimidazolidine] were prepared and tested as 5-HT4 antagonists. For example, reacting (chloropropyl)trityltetrazole II with trans-hydroxypiperidine derivative III gave alkylated piperidine IV (R = CPh3) which was deprotected to give IV (R = H).
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:34760 CAPLUS
DN 142:134469
TI A preparation of 5HT4-antagonistic N-(piperidin-4-ylmethyl)-benzamide derivatives
IN Bosmans, Jean-Paul Rene Marie Andre; Gijsen, Henricus Jacobus Maria; Mevellec, Laurence Anne
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003122	A1	20050113	WO 2004-EP6278	20040610
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004254193	A1	20050113	AU 2004-254193	20040610
	CA 2528656	A1	20050113	CA 2004-2528656	20040610
	EP 1638959	A1	20060329	EP 2004-736513	20040610
	EP 1638959	B1	20070919		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2006527715	T	20061207	JP 2006-515883	20040610
	AT 373651	T	20071015	AT 2004-736513	20040610
	ES 2293262	T3	20080316	ES 2004-736513	20040610
	US 20070032486	A1	20070208	US 2005-560485	20051212
PRAI	WO 2003-EP50237	A	20030619		
	WO 2004-EP6278	W	20040610		

OS MARPAT 142:134469
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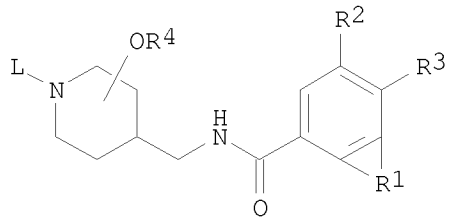

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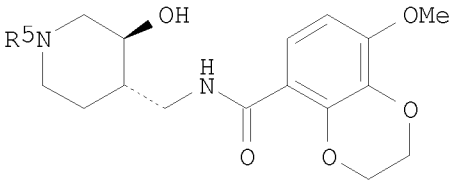

II

AB The invention relates to a preparation of 5HT4-antagonistic N-(piperidin-4-ylmethyl)-benzamide derivs. of formula I [wherein: R1 is a bivalent (un)substituted radical of formula O(CH2)1-4O or O(CH2)2-5; R2 is H or halogen; R3 is alkyl, alkoxy, or halogen; R4 is H or alkyl, and OR4 is situated at the 3- or 4-position of the piperidine ring; L is H, alkyl-(H/OH/CN/cycloalkyl), alkyl-(O/S/SO2)-(cyclo)alkyl, or alkyl-C(O)-alkyl, etc.]. For instance, N-(piperidin-4-ylmethyl)-benzamide derivative II (R5 = H; pIC50 = 6.97) was prepared via decarboxylation of II (R5 = t-BuO2C).
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:14394 CAPLUS
DN 142:114101
TI Preparation of N-(piperidinylmethyl) benzamide derivatives as 5HT4-antagonists
IN Bosmans, Jean-Paul Rene Marie Andre; Gijsen, Henricus Jacobus Maria; Mevellec, Laurence Anne
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005000838	A1	20050106	WO 2004-EP6285	20040610

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG

AU	2004251825	A1	20050106	AU 2004-251825	20040610
CA	2528590	A1	20050106	CA 2004-2528590	20040610
EP	1641784	A1	20060405	EP 2004-739785	20040610
EP	1641784	B1	20070613		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN	1809558	A	20060726	CN 2004-80017134	20040610
BR	2004011603	A	20060808	BR 2004-11603	20040610
JP	2006527716	T	20061207	JP 2006-515886	20040610
AT	364602	T	20070715	AT 2004-739785	20040610
ES	2288258	T3	20080101	ES 2004-739785	20040610
NZ	544592	A	20080530	NZ 2004-544592	20040610
US	20060281753	A1	20061214	US 2005-560479	20051212
MX	2005PA13772	A	20060308	MX 2005-PA13772	20051215
IN	2005DN06108	A	20071214	IN 2005-DN6108	20051228
PRAI WO	2003-EP50236	A	20030619		
WO	2004-EP6285	W	20040610		

OS CASREACT 142:114101; MARPAT 142:114101

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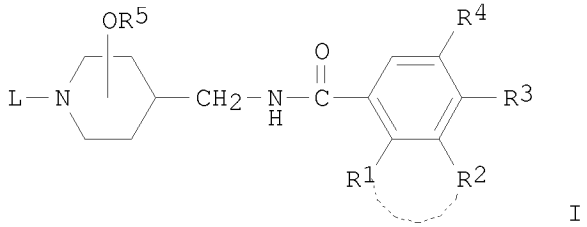

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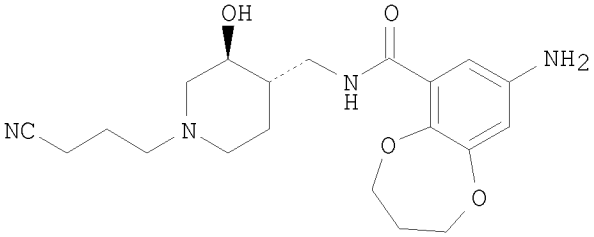

II

AB Title compds. represented by the formula I [wherein R1R2 = OCH2O, O(CH2)nOm, O(CH2)5; n = 2-4; m = 0 or 1; R3 = H, halo or alkyl; R4 = (cyano)alkyl, alkoxy(alkyl), cyano, (alkyl)amino; R5 = H or alkyl; L = H, alkyl(cyano), alkoxyalkyl, alkylcarbamoyl, etc.; stereochem. isomers thereof, an N-oxides thereof, and pharmaceutically acceptable acid or base addition salts thereof] were prepared as 5HT4-antagonists. For example, II was given in a multi-step synthesis starting from Me 5-nitro-2,3-dihydroxybenzoate. I were tested for 5HT4 antagonistic activity with pIC50 values of around 6-9, and showed metabolic stability as well. Thus, I and their pharmaceutical compns. are useful as a medicine of 5HT4-antagonists.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:14393 CAPLUS
DN 142:113910

TI Preparation of aminosulfonyl substituted 4-(aminomethyl)-piperidine benzamides as 5HT4-antagonists

IN Bosmans, Jean-Paul Rene Marie Andre; Gijsen, Henricus Jacobus Maria; Mevellec, Laurence Anne

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005000837	A1	20050106	WO 2004-EP6280	20040610
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004251823	A1	20050106	AU 2004-251823	20040610
CA 2526079	A1	20050106	CA 2004-2526079	20040610
EP 1641783	A1	20060405	EP 2004-739781	20040610
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007526875	T	20070920	JP 2006-515884	20040610
US 20060142339	A1	20060629	US 2005-560300	20051212
PRAI EP 2003-50238	A	20030619		
WO 2003-EP50238	A	20030619		
WO 2004-EP6280	W	20040610		

OS MARPAT 142:113910

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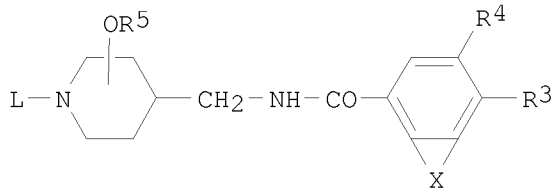

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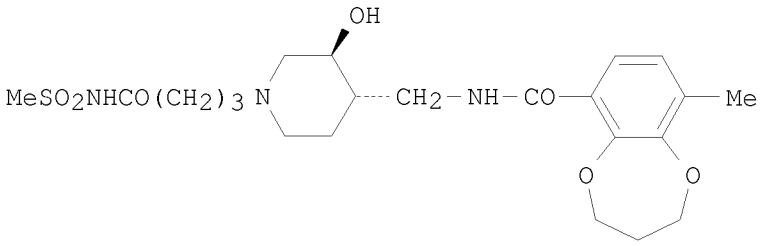

II

AB Novel compds. of formula I [X = O(CH2)nO, O(CH2)n; n = 1-5; R3 = H, halo, alkyl, alkoxy; R4 = H, halo, alkyl, alkoxy, cyanoalkyl, CN, (substituted) amino; R5 = H, alkyl; L = (substituted) aminosulfonylalkyl, alkylsulfonylaminocarbonylalkyl, etc.] are prepared which have

5HT4-antagonistic properties. The invention further relates to methods for preparing such compds., pharmaceutical compns. comprising said compds. as well as the use as a medicine of said compds. Thus, II was prepared, and had 5HT4 antagonism activity with pIC50 of 7.92, and was 5% metabolized after 60 min in liver tissue.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:441788 CAPLUS
DN 133:74035
TI Preparation of 4-(aminomethyl)piperidinebenzamides as gastrointestinal agents.
IN Bosmans, Jean-Paul Rene Marie Andre; Meulemans, Ann Louise Gabrielle; De Cleyn, Michel Anna Jozef; Gijsen, Henricus Jacobus Maria
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000037461	A1	20000629	WO 1999-EP10064	19991214
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 570920	B	20040111	TW 1999-88121125	19991203
CA 2355857	A1	20000629	CA 1999-2355857	19991214
BR 9916491	A	20010904	BR 1999-16491	19991214
EP 1140915	A1	20011010	EP 1999-967956	19991214
EP 1140915	B1	20050615		
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TR 200101962	T2	20020422	TR 2001-1962	19991214
HU 2001004838	A2	20020729	HU 2001-4838	19991214
HU 2001004838	A3	20030528		
EE 200100335	A	20020815	EE 2001-335	19991214
JP 2002533337	T	20021008	JP 2000-589532	19991214
NZ 512871	A	20021126	NZ 1999-512871	19991214
AU 770397	B2	20040219	AU 2000-24328	19991214
IL 143858	A	20050320	IL 1999-143858	19991214
AT 297917	T	20050715	AT 1999-967956	19991214
PT 1140915	T	20051130	PT 1999-967956	19991214
ES 2245131	T3	20051216	ES 1999-967956	19991214
SK 285829	B6	20070906	SK 2001-859	19991214
PL 197409	B1	20080331	PL 1999-348417	19991214
IN 2001MN00442	A	20050304	IN 2001-MN442	20010423
BG 105571	A	20020131	BG 2001-105571	20010607
BG 64953	B1	20061031		
NO 2001002858	A	20010608	NO 2001-2858	20010608
NO 321324	B1	20060424		
US 6544997	B1	20030408	US 2001-857905	20010608
HR 2001000445	A1	20020630	HR 2001-445	20010614
MX 2001PA06409	A	20010910	MX 2001-PA6409	20010621
ZA 2001005135	A	20020621	ZA 2001-5135	20010621

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	US 20030181456	A1	20030925	US 2003-353307	20030129
	US 7205410	B2	20070417		
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	WO 1999-EP10064	W	19991214		
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OS MARPAT 133:74035

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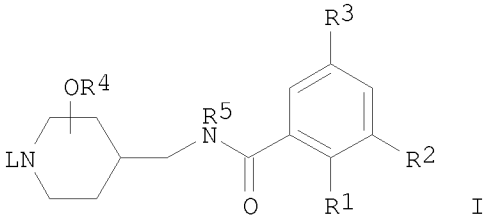

I

AB Title compds. [I; R1R2 = (substituted) OCH2O, OCH2CH2, OCH2CH2O, etc.; R3 = H, halo; R4, R5 = H, alkyl; L = cycloalkyl, oxocycloalkyl, alkenyl, etc.], were prepared Thus, trans-N-[1-(3-aminopropyl)-3-hydroxy-4-piperidinyl]methyl-7-chloro-2,3-dihydro-1,4-benzodioxin-5-carboxamide (preparation given). Was stirred with 2-chloro-3-methylpyrazine and CaO at 120° to give 16% trans-7-chloro-2,3-dihydro-N-[[3-hydroxy-1-[3-[(3-methyl-2-pyrazinyl)amino]propyl]-4-piperidinyl]methyl]-1,4-benzodioxin-5-carboxamide. This antagonized 5HT4 in rat esophageal tunica muscularis mucosae with pA2 = 10.55.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT